

=> b reg  
 FILE 'REGISTRY' ENTERED AT 13:45:06 ON 01 JUL 2010  
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STRUCTURE FILE UPDATES: 30 JUN 2010 HIGHEST RN 1228750-08-0  
 DICTIONARY FILE UPDATES: 30 JUN 2010 HIGHEST RN 1228750-08-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

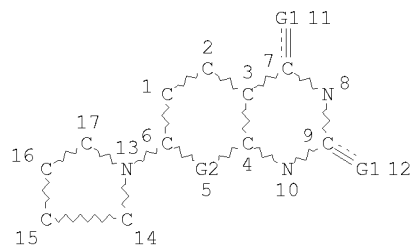
TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d que sta l5  
 L3 STR



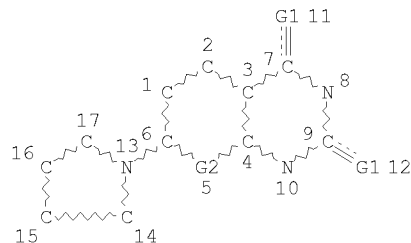
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 VAR G2=C/N  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
 L5 1668 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED 7786 ITERATIONS 1668 ANSWERS  
 SEARCH TIME: 00.00.01

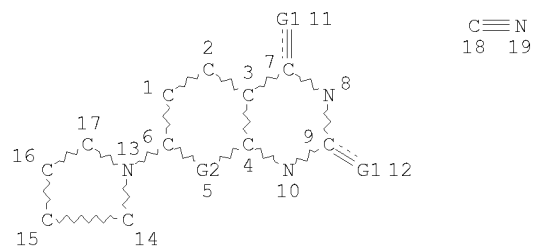
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 VAR G2=C/N  
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
 L5 1668 SEA FILE=REGISTRY SSS FUL L3  
 L11 STR



VAR G1=O/S  
 VAR G2=C/N  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

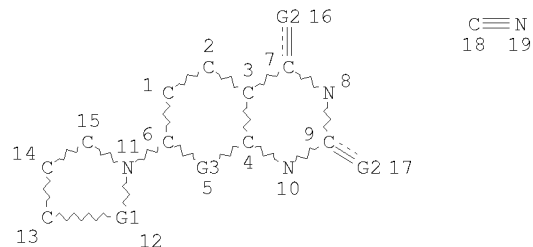
GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE  
 L13 233 SEA FILE=REGISTRY SUB=L5 SSS FUL L11

100.0% PROCESSED 233 ITERATIONS  
 SEARCH TIME: 00.00.01

233 ANSWERS

=> d que sta l26  
 L24 STR



REP G1=(0-2) C  
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 VAR G3=C/N  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE  
 L26 265 SEA FILE=REGISTRY SSS FUL L24

100.0% PROCESSED 1481 ITERATIONS

265 ANSWERS

SEARCH TIME: 00.00.01

=> b zcap  
FILE 'ZCAPLUS' ENTERED AT 13:45:19 ON 01 JUL 2010  
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FILE COVERS 1907 - 1 Jul 2010 VOL 153 ISS 1  
FILE LAST UPDATED: 30 Jun 2010 (20100630/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

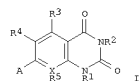
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitrn fhitrn l30 tot

L30 ANSWER 1 OF 1 SCAPLUS COPYRIGHT 2010 ACS ON STM  
 AN 2005:471510 SCAPLUS  
 DN 143:26626  
 TI Preparation of aminoquinazolidinedione derivatives as antibacterials.  
 IN Ellsworth, Edmund Lee; Hoyer, Denton Wade; Hutchings, Kim Marie; Kendall, Jackie Diane; Murphy, Sean Timothy; Starr, Jeremy Tyson; Tran, Tuan Phong  
 DA Warner-Lambert Company LLC, USA  
 SO PCT Int. Appl., 226 pp.  
 COEN: PFXK32  
 DT Patent  
 LA English  
 FAN,CNT 1

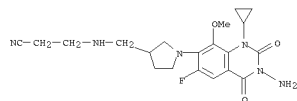
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO-2005049605	A1	20050602	2004WO-IB0003645	20041105
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RW: BW, GH, GM, KE, LS, MW, ME, NA, SD, SL, SE, TE, UG, ZM, ZW, AG, BG, BG, KE, MD, RU, TJ, TM, AZ, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, MR, NE, GN, TD, TG				
CA-----2546339	A1	20050602	2004CA-002546339	20041105
EP-----1687296	A1	20060809	2004EP-000798793	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, PO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
BR--2004016708	A	20070116	2004BR-000016708	20041105
JP--2007511597	T	20070510	2006JP-000540639	20041105
MX--2006005550	A	20060817	2006MX-000005550	20060816
US--20070191333	A1	20070816	2007US-000580088	20070227
PRAI 2003US-00523072P	P	20031118		
2004US-0064242P	P	20040902		
2004WO-IB0003645	W	20041105		
OS CASREACT 143:26626; MARPAT 143:26626				



AB Title compds. II; A = specified (fused) cyanoethylaminopyrrolidinyl, etc.; X = N, C; R1 = alkyl, cycloalkyl, haloalkyl, haloalkoxyalkyl, aryl, heteroaryl, cycloalkylalkyl; R2 = H, NH2, NHP(O)(OH)2, alkylamino, cycloalkylamino, arylamino, heteroarylaminoo, etc.; R3-R5 = H, halo, amino, alkyl, haloalkyl, alkoxy, haloalkoxy, cyano; R1R5 = atoms to form a 5-6 membered (substituted) ring), were prepared Thus, 3-amino-3-pyrrolidin-3-ylpropionitrile, 3-amino-1-cyclopropyl-6,7-difluoro-8-methyl-1H-quinazolin-2,4-dione, and 1,1,3,3-tetramethylguanidine were heated together at 90° overnight to give 378 3-amino-3-[[1-(3-cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]propionitrile. The latter showed a min. inhibitory concentration of 2 µg/mL against H. influenzae HI-3542

II 852653-45-3P 852653-46-4P 852653-47-5P  
 852653-48-6P 852653-49-7P 852653-50-0P  
 852653-51-1P 852653-52-2P 852653-53-3P  
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 852653-63-5P 852653-64-0P 852653-65-7P

L30 ANSWER 1 OF 1 SCAPLUS COPYRIGHT 2010 ACS ON STM (Continued)  
 (drug candidate; prepn. of aminoquinazolidinediones as antibacterials)  
 IT 852656-26-9 852656-27-0 852656-28-1  
 852656-29-2 852656-30-5 852656-31-6  
 852656-32-7 852656-33-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (drug candidate; preparation of aminoquinazolidinediones as antibacterials)  
 IT 852656-00-9P 852656-01-0P 852656-03-2P  
 852656-04-3P 852656-05-4P 852656-06-5P  
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 852656-10-1P 852656-11-2P 852656-12-3P  
 852656-13-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminoquinazolidinediones as antibacterials)  
 IT 852656-18-9P 852656-19-0P 852656-20-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aminoquinazolidinediones as antibacterials)  
 IT 852653-45-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of aminoquinazolidinediones as antibacterials)  
 RN 852653-45-3 SCAPLUS  
 CN Propanenitrile, 3-[[1-[(3-amino-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-8-methoxy-2,4-dioxo-7-quinazolinyl)-3-pyrrolidinyl]methyl]amino]- (CA INDEX NAME)



RE,CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 1 OF 1 SCAPLUS COPYRIGHT 2010 ACS ON STM (Continued)  
 852653-66-8P 852653-67-9P 852653-68-0P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

=> d bib abs hitstr 133 tot

L33 ANSWER 1 OF 4 SCAPLUS COPYRIGHT 2010 ACS ON STN  
 AN 2008:1215403 ZCAPLUS  
 DN 149:448422  
 TI Preparation of 7-amino-6-(aminomethyl)pyrido[2,3-d]pyrimidine-2,4-(1H,3H)-  
 dione derivatives as renin inhibitors  
 IN Gwaltney, Stephen L.; Lam, Betty; Zhang, Zhiyuan  
 PA Takeda Pharmaceutical Company Limited, Japan  
 SO PCT Int. Appl., 2008pp.  
 CODEN: PFXK32  
 DT Patent  
 LA English  
 FAN.CNT 1

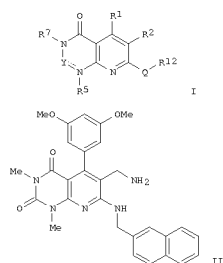
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO-2008121506	A2	20081009	2008WO-US0056509	20080311
WO-2008121506	A3	20090219		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SI, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GD, GM, ML, MR, NE, SN, TD, TG, BW, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US-20100137310 A1 20100603 2009US-000529167 20090922  
 PRAI 2007US-00909382P P 20070330  
 2008WO-US0056509 W 20080311

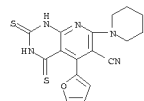
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OS CASREACT 149:448422; MARPAT 149:448422  
 GI



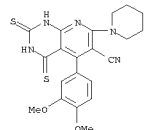
AB The invention is related to the preparation of title compds. I [Q = O, S, NH and derivs.; Y = CO, CS, SO, SO2, CH2 and derivs.; C(NH2) and derivs.; R1 = H, CN, (unsubstituted cycloalkyl, (heteroaryl, etc.); R2 = CN, (un)substituted aminoalkyl, heterocycloalkyl, heterobicycloalkyl, heteroaryl; R3, R4 = independently H, NH2, OH, (un)substituted alkyl, (hetero)arylalkyl, etc.; or R5 = absent when the N on which R5 is attached forms part of a double bond; R6 = (un)substituted Ph,

L33 ANSWER 2 OF 4 SCAPLUS COPYRIGHT 2010 ACS ON STN  
 AN 2007:1034380 ZCAPLUS  
 DN 149:288739  
 TI Synthesis and biological evaluation of pyrido[2,3-d]pyrimidine as  
 antitumor effect  
 AU Elissa, A. M. F.; Err El-Arab, E. M.; Farag, A. M.; Moharram, H. H.  
 CS Chemistry Department, Faculty of Science, Benna University, Egypt  
 SO Egyptian Journal of Chemistry (2006), 49(6), 761-774  
 CODEN: EGJCA3; ISSN: 0449-2185  
 PB National Information and Documentation Centre  
 DT Journal  
 LA English  
 OS CASREACT 149:288739  
 AB 6-Amino-3,5-dicyano-4-R-1,2-dihydropyridine-2-thiones (R = H, Furan-2-yl, thien-2-yl, 3,4-(MeO)2C6H3) were used for the synthesis of diverse pyridopyrimidine derivs. of anticipated medicinal application, particularly their antitumor effect. The pyrido[2,3-d]pyrimidine ring system has been the subject of numerous studies because of its structural similarity to folic acid.

IT **1048986-96-3B** **1048986-97-5P**  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis and evaluation of pyrido[2,3-d]pyrimidinecarbonitriles for antitumor activity)  
 RN 1048986-95-3 ZCAPLUS  
 CN Pyrido[2,3-d]pyrimidine-6-carbonitrile,  
 5-(2-furanyl)-1,2,3,4-tetrahydro-7-(1-piperidinyl)-2,4-dithioxo- (CA INDEX NAME)



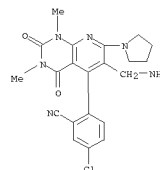
RN 1048986-97-5 ZCAPLUS  
 CN Pyrido[2,3-d]pyrimidine-6-carbonitrile,  
 5-(3,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-7-(1-piperidinyl)-2,4-dithioxo- (CA INDEX NAME)



OSC.G 1 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 4 SCAPLUS COPYRIGHT 2010 ACS ON STN (Continued)  
 phenyl/naphthyl/alkyl) which exhibit renin and other S9 proteases activities, to their pharmaceutical compns., and kits. Synthetic examples in which R12 = H are also given. Thus, dioxopyridopyrimidine II was prepd. from 3,5-dimethoxybenzaldehyde, malononitrile, 6-amino-1,3-dimethylpyrimidine-2,4-(1H,3H)-dione and 2-(bromomethyl)naphthalene and inhibited renin and DPP-IV with IC50 values in the range of 1-10 µM and >100 µM. I and their formulations are useful for treating cardiovascular diseases (no data)

IT **873935-46-7P**, 2-[6-(Aminomethyl)-1,3-dimethyl-2,4-dioxo-7-(pyrrolidin-1-yl)-1,2,3,4-tetrahydropyrido[2,3-d]pyrimidin-5-yl]-5-chlorobenzonitrile  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of dioxopyrido[2,3-d]pyrimidines derivs. as renin inhibitors)  
 RN 873935-46-7 ZCAPLUS  
 CN Benzonitrile, 2-[6-(aminomethyl)-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-7-(1-pyrrolidinyl)pyrido[2,3-d]pyrimidin-5-yl]-5-chloro- (CA INDEX NAME)



L33 ANSWER 3 OF 4 SCAPLUS COPYRIGHT 2010 ACS ON STN  
 AN 2006:53679 ZCAPLUS  
 DN 144:150378  
 TI Preparation of pyrido[2,3-d]pyrimidine-2,4-diones and related compounds as selective dipeptidyl peptidase inhibitors  
 IN Feng, Jun; Gwaltney, Stephen L.; Lam, Betty; Zhang, Zhiyuan  
 PA Takeda Pharmaceutical Co., Ltd., Japan  
 SO U.S. Pat. Appl. Publ., 55 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US-20060014764	A1	20060119	2005US-00183335	20050715
WO-2006019965	A2	20060223	2005WO-US0025070	20050714
WO-2006019965	A3	20060406		

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WO-2006020017 A2 20060223 2005WO-US0025153 20050715  
 WO-2006020017 A3 20060727

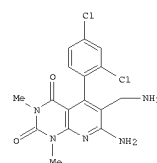
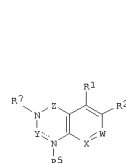
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EP-1773832 A2 20070418 2005EP-000772260 20050715  
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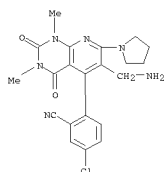
JP-2008506708 T 20080306 2007JP-000521673 20050715  
 PRAI 2004US-00588577P P 20040716  
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OS CASREACT 144:150378; MARPAT 144:150378  
 GI



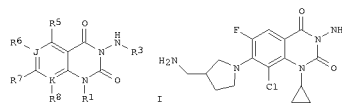
AB Pyrido[2,3-d]pyrimidine-2,4-diones and related compds. (shown as I; variables defined below; e.g. 7-amino-6-aminomethyl-5-(2,4-dichlorophenyl)-1,3-dimethyl-1H-pyrido[2,3-d]pyrimidine-2,4-dione trifluoroacetate (free

L33 ANSWER 3 OF 4 SCAPLUS COPYRIGHT 2010 ACS on STN (Continued)  
 base shown as II)], pharmaceutical compns., kits and methods are provided  
 for inhibiting DPP-IV and other 89 proteases. Although the methods of  
 prepn. are not claimed, preps. and/or characterization data for .apprx.50  
 examples of I are included. For example, II was prepd. by cyclizing  
 2-(2,4-dichlorobenzylidene)malononitrile (prepd. from  
 2,4-dichlorobenzaldehyde and malononitrile) with  
 6-amino-1,3-dimethyluracil followed by rehn. with BH3-THF and  
 acidification with TFA. For I: W = CH3 and N; X = CH4 and N; Y = CO, CS,  
 SO, SO2, CR6R6\* and C:NR6; Z = CO, CS, SO, SO2, and C:NR6; R1 =  
 (C1-10)alkyl, (C3-12)cycloalkyl, hetero(C3-12)cycloalkyl,  
 aryl(C1-10)alkyl, heteroaryl(C1-5)alkyl, et al.; R2 = amino(C1-6)alkyl,  
 hetero(C3-12)cycloalkyl, hetero(C4-12)bicycloalkyl, heteroaryl, and cyano;  
 R5 and R7 = H, halo(C1-10)alkyl, amino, nitro, thio, sulfonamide,  
 (C1-10)alkyl, (C3-12)cycloalkyl, et al.; addnl. details including provisos  
 are given in the claims. Comps. I were tested according to assays for  
 protease inhibition and obsd. to exhibit selective DPP-IV inhibitory  
 activity. For example, they inhibit DPP-IV activity at concns. that are  
 at least 50 fold less than those concns. required to produce an equiactive  
 inhibition of protease activity for FAPs. The apparent inhibition  
 constns. (Ki) for compds. of the invention, against DPP-IV, were  
 .apprx.10-9 M to .apprx.10-5 M.  
 IT **873935-46-7P** 2-[6-(aminomethyl)-1,3-dimethyl-2,4-dioxo-7-  
 (pyrrolidin-1-yl)-1,2,3,4-tetrahydropyrido[2,3-d]pyrimidin-5-yl]-5-  
 chlorobenzonitrile  
 R1: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (drug candidate; preparation of pyridio[2,3-d]pyrimidine-2,4-diones and  
 related compds. as selective dipeptidyl peptidase inhibitors)  
 RN 873935-46-7 SCAPLUS  
 CN Benzotrile, 2-[6-(aminomethyl)-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-  
 7-(1-pyrrolidinyl)pyrido[2,3-d]pyrimidin-5-yl]-5-chloro- (CA INDEX NAME)



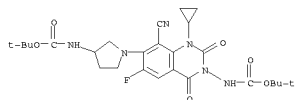
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L33 ANSWER 4 OF 4 SCAPLUS COPYRIGHT 2010 ACS on STN  
 AN 2001:545673 SCAPLUS  
 DN 135:122511  
 TI Preparation of 3-aminoquinazoline-2,4-dione antibacterial agents  
 IN Bird, Paul; Ellisworth, Edmund Lee; Nguyen, Dai Quoc; Sanchez, Joseph  
 Peter; Showalter, Howard Daniel Hollis; Singh, Rajeshwar; Stier, Michael  
 Andrew; Tran, Tuan Phong; Watson, Brian Morgan; Yip, Judy  
 PA Warner-Lambert Company, USA  
 SO PCT Int. Appl., 291 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1  
 PATENT NO. KIND DATE APPLICATION NO. DATE  
 PI WO---2001053273 A1 20010726 2000WO-US0033656 20001212 <--  
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 MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU,  
 ZA, AM, AZ, BY, CY, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, ME, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
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 CA-----2393802 A1 20010726 2000CA-002393802 20001212 <--  
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 EP-----1255739 A1 20021113 2000EP-00984246 20001212 <--  
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 HU---2002004101 A3 20040528  
 JP---2003520277 T 20030702 2001JP-00553275 20001212 <--  
 EE---2002000412 A 20030105 2002EE-00000412 20001212 <--  
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 AT-----398110 T 20080715 2000AT-00984246 20001212 <--  
 ES-----2304992 T3 20081101 2000ES-00984246 20001212 <--  
 IN-----200200775 A 20050304 2002IN-00000775 20020612 <--  
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 ZA---2002005197 A 20031104 2002ZA-000005197 20020627 <--  
 NO---2002003516 A 20020723 2002NO-00003516 20020723 <--  
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 US-20060287308 A1 20061221 2006US-000452121 20060613 <--  
 US-----752627 B2 20090901  
 PRAI 2000US-00178252P P 20000124 <--  
 2000US-00241267P P 20001018 <--  
 2000WO-US0033656 W 20001212 <--  
 2002US-000182221 A3 20021209 <--  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OS MARPAT 135:122511  
 GI

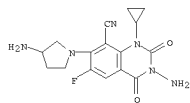


AB Title compds. (I) (wherein: R1 and R3 = independently H or (un)substituted  
 (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclic;  
 independently R5, R6, and R8 = H or (un)substituted alkyl, alkenyl,  
 alkynyl, or halo, NO2, CN, NH2, (di)alkylamino, etc.; or R1 and R8 taken

L33 ANSWER 4 OF 4 SCAPLUS COPYRIGHT 2010 ACS on STN (Continued)  
 together with the atoms to which they are attached may form an  
 (un)substituted heterocycle; R7 = H or (un)substituted alkyl, alkenyl,  
 alkynyl, (fused) heterocyclic, or (fused) aryl, or halo, NO2, CN, NH2,  
 (di)alkylamino, carboxy, etc.; J and K = independently C or N; and  
 pharmaceutically acceptable salts thereof] were prepd. as antibacterial  
 agents. For example, N'-[4-[3-(tert-butoxycarbonylaminoethyl)pyrrolidin-  
 1-yl]-2-cyclopropylamino-5-fluorobenzoyl]hydrazinecarboxylic acid tert-Bu  
 ester (multi-step prepn. given) was chlorinated with N-chlorosuccinimide,  
 cyclized with triphosgene in the presence of K2CO3, and deprotected using  
 HCl gas to afford II\*HCl. In antibacterial assays, II\*HCl  
 exhibited min. inhibitory concns. of 0.13-2.0 µg/mL against an  
 assortment of Gram neg. and Gram pos. organisms, as well as ciprofloxacin  
 resistant E. coli and S. aureus strains. In addn., II\*HCl inhibited  
 supercoiling activity of DNA gyrase with IC50 of 1.0 µM.  
 IT **351360-04-8P**  
 R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of 3-aminoquinazoline-2,4-dione antibacterial  
 agents via multi-step syntheses involving cyclization of  
 benzoylhydrazinecarboxylates with phosgene)  
 RN 351360-04-8 SCAPLUS  
 CN Carbamic acid, [1-[8-cyano-1-cyclopropyl-3-[(1,1,1-  
 dimethylethoxy)carbonylamino]-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo-7-  
 quinazolinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX  
 NAME)



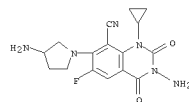
IT **351362-03-3P 351371-54-5P**  
 R1: RAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 3-aminoquinazoline-2,4-dione antibacterial agents via  
 multi-step syntheses involving cyclization of  
 benzoylhydrazinecarboxylates with phosgene)  
 RN 351362-03-3 SCAPLUS  
 CN 8-Quinazolinecarboxitrile, 3-amino-7-(3-amino-1-pyrrolidinyl)-1-  
 cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo-, hydrochloride (1:1)  
 (CA INDEX NAME)



●X HCl

RN 351371-54-5 SCAPLUS  
 CN 8-Quinazolinecarboxitrile, 3-amino-7-(3-amino-1-pyrrolidinyl)-1-  
 cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo- (CA INDEX NAME)

L33 ANSWER 4 OF 4 SCAPLUS COPYRIGHT 2010 ACS on STN (Continued)



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
 RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 10:22:15 ON 01 JUL 2010)

FILE 'REGISTRY' ENTERED AT 10:22:31 ON 01 JUL 2010

L1 STR  
 L2 50 L1  
 L3 STR L1  
 L4 50 L3  
 L5 1668 L3 FULL  
 SAV TEM J088C1/A L5

FILE 'ZCAPLUS' ENTERED AT 10:26:17 ON 01 JUL 2010

L6 1 US20070191333 /PN  
 L7 TRA L6 1- RN : 346 TERMS

FILE 'REGISTRY' ENTERED AT 10:26:26 ON 01 JUL 2010

L8 346 SEA L7  
 L9 226 L5 AND L8  
 L10 1442 L5 NOT L9  
 L11 STR L3  
 L12 14 L11 SAM SUB=L5  
 L13 233 L11 FULL SUB=L5  
 SAV TEM J088C1N/A L13  
 L14 217 L13 AND L8  
 L15 16 L13 NOT L14

FILE 'ZCAPLUS' ENTERED AT 13:26:54 ON 01 JUL 2010

L16 1 L14  
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 L19 1 L18 AND PD<=20021118  
 L20 2 L17 NOT L18-19  
 L21 1 L18,L19  
 SEL HIT RN L21

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L22 3 E1-3  
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 L24 STR L23  
 L25 15 L24  
 L26 265 L24 FULL  
 SAV TEM J088C1B/A L26  
 L27 245 L26 AND L8  
 L28 20 L26 NOT L27

FILE 'ZCAPLUS' ENTERED AT 13:44:00 ON 01 JUL 2010

L29 1 L27  
 L30 1 L16,L29  
 L31 4 L28  
 L32 1 L31 AND (PD<=20031118 OR AD<=20031118 OR PRD<=20031118)  
 L33 4 L31-32

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